PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44*bis*)

Applicant's or agent's file reference Y05017-PCT	**FOR FURTHER ACTION**	See item 4 below
International application No. PCT/JP2005/005377	International filing date *(day/month/year)* 24 March 2005 (24.03.2005)	Priority date *(day/month/year)* 25 March 2004 (25.03.2004)
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237		
Applicant Astellas Pharma Inc.		

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 *bis*.1(a).

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

   In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

☒	Box No. I	Basis of the report
☐	Box No. II	Priority
☐	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
☐	Box No. IV	Lack of unity of invention
☒	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
☐	Box No. VI	Certain documents cited
☐	Box No. VII	Certain defects in the international application
☐	Box No. VIII	Certain observations on the international application

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44*bis*.3(c) and 93*bis*.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44*bis* .2).

	Date of issuance of this report 19 October 2006 (19.10.2006)
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. +41 22 338 82 70	Authorized officer Masashi Honda e-mail: pt08@wipo.int

Form PCT/IB/373 (January 2004)

PATENT COOPERATION TREATY

TRANSLATION

From the
INTERNATIONAL SEARCHING AUTHORITY

To:

PCT

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43*bis*.1)

	Date of mailing *(day/month/year)*
Applicant's or agent's file reference Y05017-PCT	**FOR FURTHER ACTION** See paragraph 2 below

International application No.	International filing date *(day/month/year)*	Priority date *(day/month/year)*
PCT/JP2005/005377	24.03.2005	25.03.2004

International Patent Classification (IPC) or both national classification and IPC

Applicant
Astellas Pharma Inc.

1. This opinion contains indications relating to the following items:

☒	Box No. I	Basis of the opinion
☐	Box No. II	Priority
☐	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
☐	Box No. IV	Lack of unity of invention
☒	Box No. V	Reasoned statement under Rule 43*bis*.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
☐	Box No. VI	Certain documents cited
☐	Box No. VII	Certain defects in the international application
☐	Box No. VIII	Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1*bis*(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA/JP Facsimile No.	Authorized officer Telephone No.

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/JP2005/005377

Box No. I Basis of this opinion

1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ This opinion has been established on the basis of a translation from the original language into the following language _____, which is the language of a translation furnished for the purposes of international search (under Rule 12.3 and 23.1(b)).

2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:

a. type of material

☐ a sequence listing

☐ table(s) related to the sequence listing

b. format of material

☐ in written format

☐ in computer readable form

c. time of filing/furnishing

☐ contained in the international application as filed.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority for the purposes of search.

3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table(s) relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.

4. Additional comments:

WRITTEN OPINION OF THE INTERNATIONAL SEARCHING AUTHORITY

International application No.
PCT/JP2005/005377

Box No. V **Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Claims	2, 9-12	YES
	Claims	1, 3-8	NO
Inventive step (IS)	Claims		YES
	Claims	1-12	NO
Industrial applicability (IA)	Claims	1-12	YES
	Claims		NO

2. Citations and explanations:

Document 1) WO 96-20194 A1 (Yamanouchi Pharmaceutical Co., Ltd.) 4 July 1996
Document 2) WO 03/103659 A1 (Yamanouchi Pharmaceutical Co., Ltd.) 18 December 2003
Document 3) JP 2003-261439 A (Asahi Kasei Corp.) 16 September 2003
Document 4) International Journal of Pharmaceutics, 1990, 62, p. 87-95
Document 5) JP 9-71761 A (Ajinomoto Co., Inc.) 18 March 1997
Document 6) JP 62-136240 A (Kabushiki Kaisha Hayashibara Seibutsu Kagaku Kenkyujo) 19 June 1987

[1] Based on the description in document 1 cited in the international search report, the inventions of claims 1 and 3-8 lack novelty and an inventive step.

Document 1 describes crystalline solifenacin and a solid composition such as a tablet and the like as a composition containing solifenacin. Therefore, the invention of claim 1 is one and the same as the invention described in document 1. In addition, the inventions of claims 3-8 of this application are ones that specify the process for producing the invention of claim 1, but specification of the production process cannot distinguish the inventions of claims 3-8 of this application from the invention described in document 1 as a composition containing solifenacin.

[2] Based on the description in document 2 cited in the international search report, the inventions of claims 1 and 3-8 lack novelty and an inventive step.

EXAMPLE 14 of document 2 describes a capsule preparation containing solifenacin. Therefore, the invention of claim 1 is one and the same as the invention described in document 2. In addition, the inventions of claims 3-8 of this application are ones that specify the process for producing the invention of claim 2, but specification of the production process cannot distinguish the inventions of claims 3-8 of this application from the invention described in document 2 as a composition containing solifenacin.

[3] Based on the description in document 3 cited in the international search report, the inventions of claims 1 and 3-8 lack novelty and an inventive step.

CLAIM 4 of document 3 describes a preparation containing solifenacin that disintegrates in the oral cavity. Therefore, the invention of claim 1 is one and the same as the invention described in document 3. In addition, the inventions of claims 3-8 of this application are ones that specify the process for producing the invention of claim 2, but specification of the production process cannot distinguish the inventions of claims 3-8 of this application from the invention described in document 3 as a composition containing solifenacin.

Supplemental Box

In case **the space in any of the preceding boxes is not sufficient.**

Continuation of: Box V.

[4] Based on the descriptions in documents 1-4 cited in the international search report, the inventions of claims 2-9 lack an inventive step.

Documents 1-3 describe solid pharmaceutical preparations containing solifenacin. On the other hand, the inventions of claims 2 and 9, and the inventions among claims 3-8 that are dependent on claim 2 specify the content of amorphous solifenacin, and in that respect they differ from the inventions described in documents 1-3.

However, document 4 states that the active ingredient can be converted to the amorphous form depending on the water content in a solid pharmaceutical preparation, and an amorphous form can further lead to inactivation of the active ingredient. Therefore, this authority finds that persons skilled in the art could arbitrarily focus on the amorphous form in compositions containing solifenacin and decrease the water content in the composition by various methods to decrease the content of the amorphous form.

[5] Based on the descriptions in documents 1-6 cited in the international search report, the inventions of claims 10-12 lack an inventive step.

Documents 1-3 describe solid pharmaceutical preparations containing solifenacin.

On the other hand, the inventions of claims 10-12 contain an agent that inhibits the conversion of solifenacin to the amorphous form, and in that respect they differ.

However, , document 4 states that the active ingredient can be converted to the amorphous form depending on the water content in a solid pharmaceutical preparation, and an amorphous form can further lead to inactivation of the active ingredient. In addition, documents 5- 6 describe the inclusion of various additives in a solid pharmaceutical preparation to prevent conversion of an active ingredient to an amorphous form due to the water content in that preparation.

Thus, this authority finds that persons skilled in the art can easily conceive of including various agents to inhibit the conversion of solifenacin to the amorphous form in the solid pharmaceutical preparations containing solifenacin described in documents 1-3.

In addition, Table 3 in the DESCRIPTION of this application states that polyethylene glycol (PEG) is preferable among the above agents to inhibit conversion to the amorphous form, but COMPARISION EXAMPLES 4 and 5, that contain an additive(s) other than PEG use much more water in the manufacturing process than EXAMPLE 5 that uses PEG.

This being the case, this authority finds that it is unclear whether the stabilizing effect shown in EXAMPLE 5 is one provided by using PEG, and therefore, based on the results in Table 3, this authority cannot find that the inventions of claims 10-12 involve an inventive step.